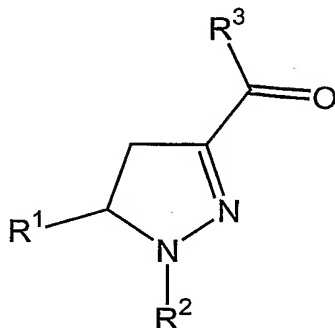


**Claims:**

1. Substituted pyrazoline compounds of general formula I,



I

wherein

R<sup>1</sup> represents an optionally at least mono-substituted phenyl group;

R<sup>2</sup> represents an optionally at least mono-substituted phenyl group;

R<sup>3</sup> represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or R<sup>3</sup> represents an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or R<sup>3</sup> represents an -NR<sup>4</sup>R<sup>5</sup>-moiety,

R<sup>4</sup> and R<sup>5</sup>, identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an

optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group; an  $-\text{SO}_2\text{-R}^6$ -moiety; or an  $-\text{NR}^7\text{R}^8$ -moiety,

with the provisos

that  $\text{R}^4$  and  $\text{R}^5$  do not both represent a hydrogen atom; and

that if one of the residues  $\text{R}^4$  and  $\text{R}^5$  represents a hydrogen atom or an alkyl group, which is optionally at least mono-substituted with an alkoxy group, an alkoxyalkoxy group, a halogen atom or a phenyl group, the other one of these residues  $\text{R}^4$  and  $\text{R}^5$  does not represent a pyrid-2-yl group, which is optionally mono-substituted in the 5-position; a pyrid-5-yl group, which is optionally mono-substituted in the 2-position; a pyrimid-5-yl group, which is optionally mono-substituted in the 2-position; a pyridaz-3-yl group, which is optionally mono-substituted in the 6-position; a pyrazin-5-yl group, which is optionally mono-substituted in the 2-position; a thien-2-yl group, which is optionally mono-substituted in the 5 position; a thien-2-yl group, which is optionally at least mono-substituted in the 4-position; a benzyl group, which is optionally mono-substituted in the 4-position of the ring; a phenethyl group, which is optionally mono-substituted in the 4-position of the ring; an optionally mono-, di- or tri-substituted phenyl group; a di-substituted phenyl group, wherein the two substituents together form an  $-\text{OCH}_2\text{O}-$ ,  $-\text{OCH}_2\text{CH}_2\text{O}-$  or  $-\text{CH}_2\text{CH}_2\text{O}-$  chain, which is optionally substituted with one or more halogen atoms or one or two methyl groups; an  $-\text{NH}$ -phenyl-moiety, wherein the phenyl group may be mono-substituted in the 4-position, and

that if one of the residues  $\text{R}^4$  and  $\text{R}^5$  represents an alkynyl group, the other one of these residues  $\text{R}^4$  and  $\text{R}^5$  does not represent a phenyl group, which is optionally substituted in the 4-position, and

that if one of the residues  $R^4$  and  $R^5$  represents a hydrogen atom or a linear or branched, saturated or unsaturated, unsubstituted or substituted aliphatic radical, the other one of these residues  $R^4$  and  $R^5$  does not represent an unsubstituted or substituted thiazole group or an unsubstituted or substituted [1,3,4]thiadiazole group;

$R^6$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group;

$R^7$  and  $R^8$ , identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group;

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

2. Compounds according to claim 1, characterized in that  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$ -alkoxy group, a halogen atom,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ ,  $CN$ ,  $OH$ ,  $NO_2$ ,  $-(C=O)-R'$ ,  $SH$ ,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$  whereby  $R'$  and  $R''$  for each substituent independently represent linear or branched  $C_{1-6}$  alkyl, preferably  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl,  $F$ ,  $Cl$ ,  $Br$  and  $CF_3$ , more preferably  $R^1$  represents a phenyl group, which is mono-substituted with a chlorine atom in the 4-position.
3. Compounds according to claim 1 or 2, characterized in that  $R^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$ -alkoxy group, a halogen atom,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ ,  $CN$ ,  $OH$ ,  $NO_2$ ,  $-(C=O)-R'$ ,  $SH$ ,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$ , whereby  $R'$  and optionally  $R''$  for each substituent independently represent linear or branched  $C_{1-6}$  alkyl, preferably  $R^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of methyl, ethyl,  $F$ ,  $Cl$ ,  $Br$  and  $CF_3$ , more preferably  $R^2$  represents a phenyl group, which is di-substituted with two chlorine atoms in its 2- and 4-position.
4. Compounds according to one or more of claims 1-3, characterized in that  $R^3$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an  $-NR^4R^5$ -moiety,

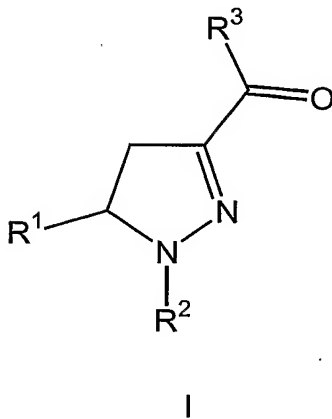
preferably  $R^3$  represents a saturated, optionally at least mono-substituted, optionally one or more nitrogen-atoms as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an  $-NR^4R^5$ -moiety, more preferably  $R^3$  represents a pyrrolidinyl group, a piperidinyl group or a piperazinyl group, whereby each of these groups may be substituted with one or more  $C_{1-6}$ -alkyl groups, or  $R^3$  represents an  $-NR^4R^5$ -moiety.

5. Compounds according to one or more of claims 1-4, characterized in that  $R^4$  and  $R^5$ , identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a methylene ( $-CH_2-$ ) or ethylene ( $-CH_2-CH_2-$ ) group; an  $-SO_2-R^6$ -moiety; or an  $-NR^7R^8$ -moiety, preferably one of these residues  $R^4$  and  $R^5$  represents a hydrogen atom and the other one of these residues  $R^4$  and  $R^5$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; an  $-SO_2-R^6$ -moiety; or an  $-NR^7R^8$ -moiety, or  $R^4$  and  $R^5$ , identical or different, each represent a  $C_{1-6}$  alkyl group, more preferably one of these residues  $R^4$  and  $R^5$  represents a hydrogen atom and the other one of these residues  $R^4$  and  $R^5$  represents an optionally at least mono-substituted pyrrolidinyl group; an optionally at least mono-substituted piperidinyl group; an optionally at least mono-substituted piperazinyl group; an optionally at least mono-substituted triazolyl group; an  $-SO_2-R^6$ -moiety; or an -

NR<sup>7</sup>R<sup>8</sup>-moiety, or R<sup>4</sup> and R<sup>5</sup>, identical or different, represent a methyl group, an ethyl group, an n-propyl group, an isopropyl group, an n-butyl group, a sec-butyl group or a tert.-butyl group.

6. Compounds according to one or more of claims 1-5, characterized in that R<sup>6</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic group; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub> cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or bonded via a methylene (-CH<sub>2</sub>-) or ethylene (-CH<sub>2</sub>-CH<sub>2</sub>)-group, preferably R<sup>6</sup> represents a C<sub>1-6</sub>-alkyl group; a saturated, optionally at least mono-substituted cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or a phenyl group, which is optionally substituted with one or more C<sub>1-6</sub> alkyl groups.
7. Compounds according to one or more of claims 1-6, characterized in that R<sup>7</sup> and R<sup>8</sup>, identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub> cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6 membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a methylene (-CH<sub>2</sub>-) or ethylene (-CH<sub>2</sub>-CH<sub>2</sub>)-group, preferably R<sup>7</sup> and R<sup>8</sup>, identical or different, represent a hydrogen atom; or a C<sub>1-6</sub> alkyl radical.

8. Compounds of general formula I according to one or more of claims 1 to 7



wherein

$R^1$  represents a phenyl ring, which is mono-substituted with a halogen atom, preferably a chlorine atom, in its 4-position,

$R^2$  represents a phenyl ring, which is di-substituted with two halogen atoms, preferably chlorine atoms, in its 2- and 4-position,

$R^3$  represents a pyrrolidinyl group; a piperidinyl group; a piperazinyl group; a homo-piperazinyl group; a morpholinyl group; or an  $-NR^4R^5$ -moiety,

$R^4$  represents a hydrogen atom or a linear or branched  $C_{1-6}$ -alkyl group,

$R^5$  represents a linear or branched  $C_{1-6}$  alkyl group; an  $SO_2-R^6$ -moiety; a pyrrolidinyl group; a piperidinyl group; a piperazinyl group; a homo-piperazinyl group; a morpholinyl group; or a triazolyl group, whereby each of the heterocyclic rings may be substituted with one or more, identical or different,  $C_{1-6}$ -alkyl groups, and

$R^6$  represents a phenyl group, which is optionally substituted with one or more  $C_{1-6}$  alkyl groups, which may be identical or different,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

9. Compounds according to one or more of claims 1 to 8 selected from the group consisting of:

N-piperidinyl-5-(4-chloro-phenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-3-carboxamide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid-[1,2,4]-triazole-4-yl-amide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid-(4-methyl-piperazin-1-yl)-amide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid diethylamide,

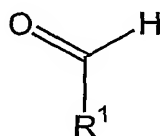
[5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-yl]-piperidine-1-yl-methanone,

N-[5-(4-Chloro-phenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazole-3-carbonyl]-4-methylphenylsulfonamide,

optionally in the form of a corresponding N-oxide, a corresponding salt or a corresponding solvate.

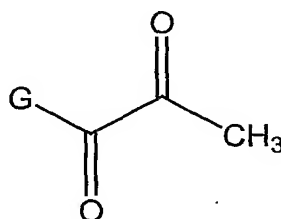


10. Process for the manufacture of substituted pyrazoline compounds of general formula I according to one or more of claims 1 to 9, characterized in that at least one benzaldehyde compound of general formula II



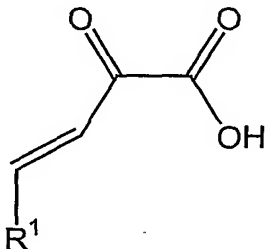
(II)

wherein R<sup>1</sup> has the meaning according to one or more of claims 1-9, is reacted with a pyruvate compound of general formula (III)



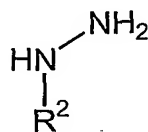
(III),

wherein G represents an OR group with R being a branched or unbranched C<sub>1-6</sub> alkyl radical or G represents an O<sup>-</sup>K group with K being a cation, to yield a compound of general formula (IV)



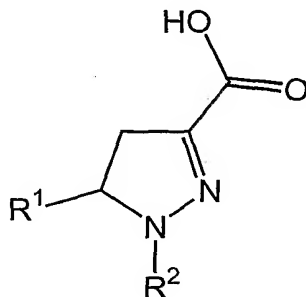
(IV)

wherein  $R^1$  has the meaning given above, which is optionally isolated and/or optionally purified, and which is reacted with an optionally substituted phenyl hydrazine of general formula (V)



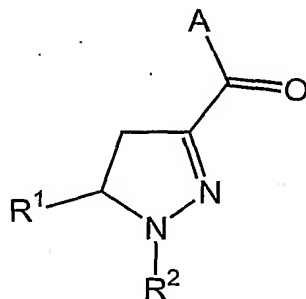
(V)

or a corresponding salt thereof, wherein  $R^2$  has the meaning according to one or more of claims 1-9, under inert atmosphere, to yield a compound of general formula (VI)



(VI)

wherein  $R^1$  and  $R^2$  have the meaning as given above, which is optionally isolated and/or optionally purified, and optionally transferred under inert atmosphere to a compound of general formula (VII) via the reaction with an activating agent

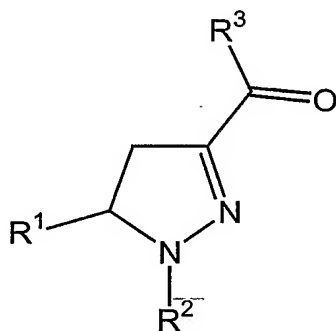


(VII)

wherein the substituents  $R^1$  and  $R^2$  have the meaning given above and A represents a leaving group, said compound being optionally isolated and/or optionally purified, and at least one compound of general formula (VI) is reacted with a compound of general formula  $R^3H$ , wherein  $R^3$  represents an  $-NR^4R^5$ -moiety, with  $R^4$  and  $R^5$  having the meaning according to one or more of claims 1-9, under inert atmosphere to yield a substituted pyrazoline compound of general formula I, wherein  $R^3$  represents an  $-NR^4R^5$ -moiety,

or at least one compound of general formula (VII) is reacted with a compound of the general formula  $R^3H$ , in which  $R^3$  has the meaning according to one or more of claims 1-9 under inert atmosphere to yield a compound of general formula (I) according to one or more of claims 1-9, which is optionally isolated and/or optionally purified.

11. Medicament comprising at least one substituted pyrazoline compound of general formula I,



I

wherein

$R^1$  represents an optionally at least mono-substituted phenyl group;

$R^2$  represents an optionally at least mono-substituted phenyl group;

$R^3$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an  $-NR^4R^5$ -moiety,

$R^4$  and  $R^5$ , identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group; an  $-SO_2-R^6$ -moiety; or an  $-NR^7R^8$ -moiety, with the proviso that  $R^4$  and  $R^5$  do not identically represent hydrogen;

$R^6$  represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic group; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or an optionally at least mono-substituted aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group;

$R^7$  and  $R^8$ , identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least

mono-substituted aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a linear or branched alkylene group;

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof, and optionally one or more pharmaceutically acceptable excipients.

12. Medicament according to claim 11, characterized in that  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$ -alkoxy group, a halogen atom,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ ,  $CN$ ,  $OH$ ,  $NO_2$ ,  $-(C=O)-R'$ ,  $SH$ ,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$  whereby  $R'$  and  $R''$  for each substituent independently represent linear or branched  $C_{1-6}$  alkyl, preferably  $R^1$  represents a phenyl group, which is optionally substituted by one or more substituents selected from the group consisting of methyl, ethyl,  $F$ ,  $Cl$ ,  $Br$  and  $CF_3$ , more preferably  $R^1$  represents a phenyl group, which is mono-substituted with a chlorine atom in the 4-position.
13. Medicament according to claim 11 or 12, characterized in that  $R^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$ -alkoxy group, a halogen atom,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ ,  $CN$ ,  $OH$ ,  $NO_2$ ,  $-(C=O)-R'$ ,  $SH$ ,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$ , whereby  $R'$  and optionally  $R''$  for each substituent independently represent linear or branched  $C_{1-6}$  alkyl, preferably  $R^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of methyl, ethyl,  $F$ ,  $Cl$ ,  $Br$  and  $CF_3$ , more preferably  $R^2$  represents a

phenyl group, which is di-substituted with two chlorine atoms in its 2- and 4-position.

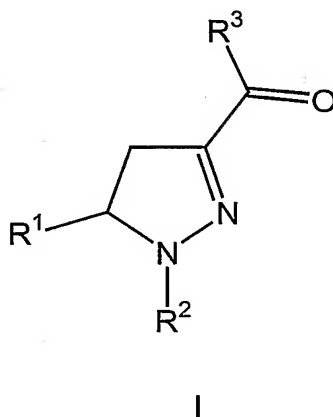
14. Medicament according to one or more of claims 11-13, characterized in that  $R^3$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an  $-NR^4R^5$ -moiety, preferably  $R^3$  represents a saturated, optionally at least mono-substituted, optionally one or more nitrogen-atoms as ring member containing  $C_{3-8}$  cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system, or  $R^3$  represents an  $-NR^4R^5$ -moiety, more preferably  $R^3$  represents a pyrrolidinyl group, a piperidinyl group or a piperazinyl group, whereby each of these groups may be substituted with one or more  $C_{1-6}$ -alkyl groups, or  $R^3$  represents an  $-NR^4R^5$ -moiety.
15. Medicament according to one or more of claims 11-14, characterized in that  $R^4$  and  $R^5$ , identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted  $C_{1-6}$ -aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing  $C_{3-8}$ -cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a methylene ( $-CH_2-$ ) or ethylene ( $-CH_2-CH_2-$ ) group; an  $-SO_2-R^6$ -moiety; or an  $-NR^7R^8$ -moiety, preferably one of these residues  $R^4$  and  $R^5$  represents a hydrogen atom and the other one of these residues  $R^4$  and  $R^5$  represents a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member

containing C<sub>3-8</sub>-cycloaliphatic group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system; an -SO<sub>2</sub>-R<sup>6</sup>-moiety; or an -NR<sup>7</sup>R<sup>8</sup>-moiety, or R<sup>4</sup> and R<sup>5</sup>, identical or different, each represent a C<sub>1-6</sub> alkyl group, more preferably one of these residues R<sup>4</sup> and R<sup>5</sup> represents a hydrogen atom and the other one of these residues R<sup>4</sup> and R<sup>5</sup> represents an optionally at least mono-substituted pyrrolidinyl group; an optionally at least mono-substituted piperidinyl group; an optionally at least mono-substituted piperazinyl group; an optionally at least mono-substituted triazolyl group; an -SO<sub>2</sub>-R<sup>6</sup>-moiety; or an -NR<sup>7</sup>R<sup>8</sup>-moiety, or R<sup>4</sup> and R<sup>5</sup>, identical or different, represent a methyl group, an ethyl group, an n-propyl group, an isopropyl group, an n-butyl group, a sec-butyl group or a tert.-butyl group.

16. Medicament according to one or more of claims 11-15, characterized in that R<sup>6</sup> represents a linear or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic group; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub> cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or an optionally at least mono-substituted, 5- or 6-membered aryl or heteroaryl group, which may be condensed with a mono- or polycyclic ring system and/or bonded via a methylene (-CH<sub>2</sub>-) or ethylene (-CH<sub>2</sub>-CH<sub>2</sub>)-group, preferably R<sup>6</sup> represents a C<sub>1-6</sub>-alkyl group; a saturated, optionally at least mono-substituted cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or a phenyl group, which is optionally substituted with one or more C<sub>1-6</sub> alkyl groups.
17. Medicament according to one or more of claims 11-16, characterized in that R<sup>7</sup> and R<sup>8</sup>, identical or different, represent a hydrogen atom; an unbranched or branched, saturated or unsaturated, optionally at least mono-substituted C<sub>1-6</sub> aliphatic radical; a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing C<sub>3-8</sub> cycloaliphatic group, which may be condensed with an optionally at least

mono-substituted mono- or polycyclic ring system; or an optionally at least mono-substituted, 5- or 6 membered aryl or heteroaryl group, which may be condensed with an optionally at least mono-substituted mono- or polycyclic ring system and/or bonded via a methylene ( $-\text{CH}_2-$ ) or ethylene ( $-\text{CH}_2-\text{CH}_2-$ ) group, preferably  $\text{R}^7$  and  $\text{R}^8$ , identical or different, represent a hydrogen atom or a  $\text{C}_{1-6}$  alkyl radical.

18. Medicament according to one or more of claims 11-17, characterized in that it comprises at least one compound of general formula I



wherein

$\text{R}^1$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of methyl, ethyl, F, Cl, Br and  $\text{CF}_3$ ,

$\text{R}^2$  represents a phenyl group, which is optionally substituted by one or more substituents independently selected from the group consisting of methyl, ethyl, F, Cl, Br and  $\text{CF}_3$ ,

$\text{R}^3$  represents a pyrrolidinyl group, a piperidinyl group or a piperazinyl group, whereby each of these groups may be substituted with one or more  $\text{C}_{1-6}$ -alkyl groups, or  $\text{R}^3$  represents an  $-\text{NR}^4\text{R}^5$ -moiety,



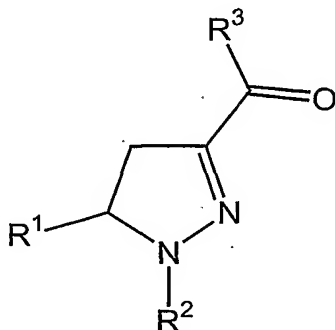
one of the residues  $R^4$  and  $R^5$  represents a hydrogen atom and the other one of these residues  $R^4$  and  $R^5$  represents an optionally at least mono-substituted pyrrolidinyl group; an optionally at least mono-substituted piperidinyl group; an optionally at least mono-substituted piperazinyl group; an optionally at least mono-substituted triazolyl group; an  $-\text{SO}_2\text{-}R^6$ -moiety; or an  $-\text{NR}^7\text{R}^8$ -moiety, or  $R^4$  and  $R^5$ , identical or different, represent a methyl group, an ethyl group, an n-propyl group, an isopropyl group, an n-butyl group, a sec-butyl group or a tert.-butyl group,

$R^6$  represents a  $\text{C}_{1-6}$ -alkyl group; a saturated, optionally at least mono-substituted cycloaliphatic group, which may be condensed with a mono- or polycyclic ring-system; or a phenyl group, which is optionally substituted with one or more  $\text{C}_{1-6}$  alkyl groups, and

$R^7$  and  $R^8$ , identical or different, represent a hydrogen atom or a  $\text{C}_{1-6}$  alkyl radical

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

19. Medicament according to one or more of claims 11-17, characterized in that it comprises at least one compound of general formula I



I

wherein

$R^1$  represents a phenyl group, which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of linear or branched  $C_{1-6}$ -alkyl, linear or branched  $C_{1-6}$ -alkoxy, F, Cl, Br, I,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ , CN, OH,  $NO_2$ ,  $-(C=O)-R'$ , SH,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$ , whereby  $R'$  and  $R''$  at each occurrence independently represent a linear or branched  $C_{1-6}$  alkyl group,

$R^2$  represents a phenyl group, which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of linear or branched  $C_{1-6}$ -alkyl, linear or branched  $C_{1-6}$ -alkoxy, F, Cl, Br, I,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ , CN, OH,  $NO_2$ ,  $-(C=O)-R'$ , SH,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$ , whereby  $R'$  and  $R''$  at each occurrence independently represent a linear or branched  $C_{1-6}$  alkyl group,

$R^3$  represents a saturated or unsaturated  $C_{3-8}$  cycloaliphatic group, whereby said  $C_{3-8}$  cycloaliphatic group is optionally substituted with 1, 2, 3 or 4 substituents independently selected from the group consisting of linear or branched  $C_{1-6}$  alkyl, linear or branched  $C_{1-6}$  alkoxy, OH, F, Cl, Br, I, CN,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$  and oxo ( $=O$ ) and whereby said  $C_{3-8}$  cycloaliphatic group may

contain 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S as ring members, or  $R^3$  represents an  $-NR^4R^5$ -moiety,

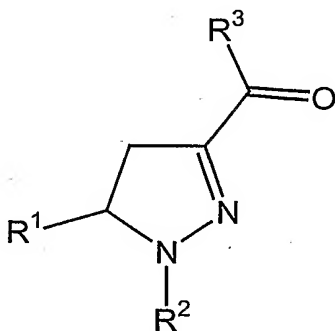
$R^4$  represents a hydrogen atom or a linear or branched  $C_{1-6}$ -alkyl group,

$R^5$  represents a linear or branched  $C_{1-6}$  alkyl group; an  $-SO_2-R^6$ -moiety; a saturated or unsaturated  $C_{3-8}$  cycloaliphatic group, whereby said  $C_{3-8}$  cycloaliphatic group is optionally substituted with 1, 2, 3 or 4 substituents independently selected from the group consisting of linear or branched  $C_{1-6}$  alkyl group, a linear or branched  $C_{1-6}$  alkoxy group, OH, F, Cl, Br, I, CN  $CH_2F$ ,  $CHF_2$ ,  $CF_3$  and oxo ( $=O$ ) and whereby said  $C_{3-8}$  cycloaliphatic group may contain 1, 2 or 3 heteroatoms independently selected from the group consisting of N, O and S as ring members, and

$R^6$  represents a phenyl group, which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of a linear or branched  $C_{1-6}$ -alkyl group, a linear or branched  $C_{1-6}$ -alkoxy group, F, Cl, Br, I,  $CH_2F$ ,  $CHF_2$ ,  $CF_3$ , CN, OH,  $NO_2$ ,  $-(C=O)-R'$ , SH,  $SR'$ ,  $SOR'$ ,  $SO_2R'$ ,  $NH_2$ ,  $NHR'$ ,  $NR'R''$ ,  $-(C=O)-NH_2$ ,  $-(C=O)-NHR'$  and  $-(C=O)-NR'R''$ , whereby  $R'$  and  $R''$  at each occurrence independently represent a linear or branched  $C_{1-6}$  alkyl group,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

20. Medicament according to one or more of claims 11-17 and 19, characterized in that it comprises at least one compound of general formula I



I

wherein

R<sup>1</sup> represents a phenyl group, which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of methyl, ethyl, F, Cl, Br and CF<sub>3</sub>,

R<sup>2</sup> represents a phenyl group, which is optionally substituted with 1, 2, 3, 4 or 5 substituents independently selected from the group consisting of methyl, ethyl, F, Cl, Br and CF<sub>3</sub>,

R<sup>3</sup> represents a pyrrolidinyl group, a piperidinyl group or a piperazinyl group, whereby each of these groups may be substituted with one or more of C<sub>1-6</sub>-alkyl groups, or R<sup>3</sup> represents an -NR<sup>4</sup>R<sup>5</sup>-moiety,

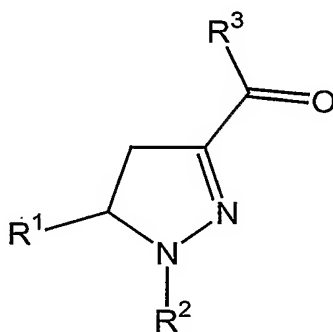
R<sup>4</sup> represents a hydrogen atom or a linear or branched C<sub>1-6</sub>-alkyl group,

R<sup>5</sup> represents a linear or branched C<sub>1-6</sub> alkyl group; an -SO<sub>2</sub>-R<sup>6</sup>-moiety; a pyrrolidinyl group; a piperidinyl group; a piperazinyl group; a homo-piperazinyl group; a morpholinyl group; a triazolyl group; whereby each of the heterocyclic rings may be substituted with one or more, identical or different, C<sub>1-6</sub>-alkyl groups, and

$R^6$  represents a phenyl group, which is optionally substituted with one or more  $C_{1-6}$  alkyl groups, which may be identical or different,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

21. Medicament according to one or more of claims 11-20, characterized in that it comprises at least one compound of general formula I



I

wherein

$R^1$  represents a phenyl ring, which is mono-substituted with a halogen atom, preferably a chlorine atom, in its 4-position,

$R^2$  represents a phenyl ring, which is di-substituted with two halogen atoms, preferably chlorine atoms, in its 2- and 4-position,

$R^3$  represents a pyrrolidinyl group, a piperidinyl group, a piperazinyl group, a homo-piperazinyl group, a morpholinyl group, or an  $-NR^4R^5$ -moiety,

$R^4$  represents a hydrogen atom or a linear or branched  $C_{1-6}$ -alkyl group,

R<sup>5</sup> represents a linear or branched C<sub>1-6</sub> alkyl group; an -SO<sub>2</sub>-R<sup>6</sup>-moiety; a pyrrolidinyl group; a piperidinyl group; a piperazinyl group; a homo-piperazinyl group; a morpholinyl group; or a triazolyl group whereby each of the heterocyclic rings may be substituted with one or more, identical or different, C<sub>1-6</sub>-alkyl groups, and

R<sup>6</sup> represents a phenyl group, which is optionally substituted with one or more C<sub>1-6</sub> alkyl groups, which may be identical or different,

optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding N-oxide thereof, or a corresponding salt thereof, or a corresponding solvate thereof.

22. Medicament according to one or more of claims 11 to 21, characterized in that it comprises at least one compound selected from the group consisting of:

N-piperidinyl-5-(4-chloro-phenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-3-carboxamide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid-[1,2,4]-triazole-4-yl-amide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid-(4-methyl-piperazin-1-yl)-amide,

5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid diethylamide,

[5-(4-Chloro-phenyl)-1-(2,4-dichloro-phenyl)-4,5-dihydro-1H-pyrazole-3-yl]-piperidine-1-yl-methanone,

N-[5-(4-Chloro-phenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazole-3-carbonyl]-4-methylphenylsulfonamide,

optionally in the form of a corresponding N-oxide, a corresponding salt or a corresponding solvate.

23. Medicament according to one or more of claims 11-22 for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB<sub>1</sub>) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.
24. Medicament according to one or more of claims 11-22 for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia, obesity, type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.
25. Medicament according to one or more of claims 11-22 for the prophylaxis and/or treatment of psychosis.
26. Medicament according to one or more of claims 11-22 for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.
27. Medicament according to one or more of claims 11-22 for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the prophylaxis and/or treatment of

one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

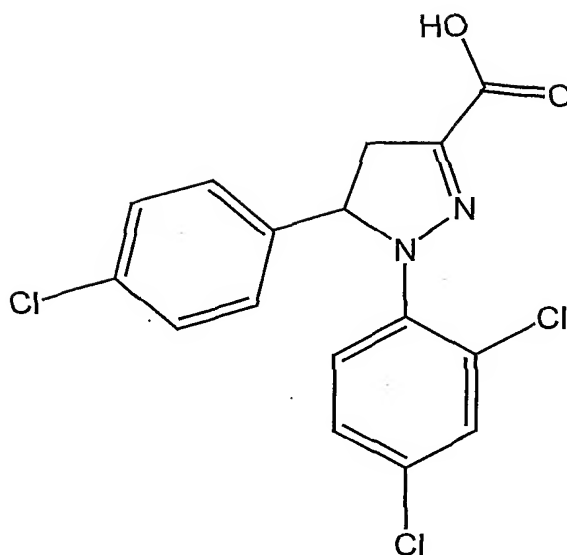
28. Medicament according to one or more of claims 11-22 for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or ageing), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebellar disorders, spinocerebellar disorders, cognitive disorders, cranial trauma, head trauma, stroke panic attacks, peripheral neuropathy, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.
29. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the modulation of cannabinoid-receptors, preferably cannabinoid 1 (CB<sub>1</sub>) receptors, for the prophylaxis and/or treatment of disorders of the central nervous system, disorders of the immune system, disorders of the cardiovascular system, disorders of the endocrinous system, disorders of the respiratory system, disorders of the gastrointestinal tract or reproductive disorders.



30. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of food intake disorders, preferably bulimia, anorexia, cachexia, obesity, type II diabetes mellitus (non-insuline dependent diabetes mellitus), more preferably obesity.
31. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of psychosis.
32. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of alcohol abuse and/or alcohol addiction, nicotine abuse and/or nicotine addiction, drug abuse and/or drug addiction and/or medicament abuse and/or medicament addiction, preferably drug abuse and/or drug addiction and/or nicotine abuse and/or nicotine addiction.
33. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of cancer, preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of brain cancer, bone cancer, lip cancer, mouth cancer, esophageal cancer, stomach cancer, liver cancer, bladder cancer, pancreas cancer, ovary cancer, cervical cancer, lung cancer, breast cancer, skin cancer, colon cancer, bowel cancer and prostate cancer, more preferably for the prophylaxis and/or treatment of one or more types of cancer selected from the group consisting of colon cancer, bowel cancer and prostate cancer.

34. Use of at least one substituted pyrazoline compound according to one or more of claims 1-9 including the disclaimed compounds and optionally one or more pharmaceutically acceptable excipients, for the preparation of a medicament for the prophylaxis and/or treatment of one or more disorders selected from the group consisting of bone disorders, preferably osteoporosis (e.g. osteoporosis associated with a genetic predisposition, sex hormone deficiency, or ageing), cancer-associated bone disease or Paget's disease of bone; schizophrenia, anxiety, depression, epilepsy, neurodegenerative disorders, cerebellar disorders, spinocerebellar disorders, cognitive disorders, cranial trauma, head trauma, stroke, panic attacks, peripheral neuropathy, glaucoma, migraine, Morbus Parkinson, Morbus Huntington, Morbus Alzheimer, Raynaud's disease, tremblement disorders, compulsive disorders, senile dementia, thymic disorders, tardive dyskinesia, bipolar disorders, medicament-induced movement disorders, dystonia, endotoxemic shock, hemorrhagic shock, hypotension, insomnia, immunologic disorders, sclerotic plaques, vomiting, diarrhea, asthma, memory disorders, pruritus, pain, or for potentiation of the analgesic effect of narcotic and non-narcotic analgesics, or for influencing intestinal transit.

35. The compound



optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, a racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers and/or diastereomers, in any mixing ratio, or a corresponding salt thereof, or a corresponding solvate thereof.